

REMARKS

Information Disclosure Statement Issues

Before addressing the rejections of record, Applicants note that they have filed (1) an Information Disclosure Statement together with USPTO Form 1449 (modified) on October 25, 2001, (2) a Supplemental Information Disclosure Statement on November 19, 2001 together with its Form PTO/SB/08A, and (3) another Supplemental Information Disclosure Statement on June 25, 2002 with its Form PTO/SB/08A and references cited thereon. Of these three Information Disclosure Statements filed, only the second Information Disclosure Statement filed on November 19, 2001 has been acknowledged. The Information Disclosure Statement filed on October 25, 2001 and the Supplemental Information Disclosure Statement filed on June 25, 2002 have not been acknowledged by the Examiner. To confirm our filing of these latter Information Disclosure Statements, Applicants enclose herewith duplicate copies of the same together a photocopy of the relevant date-stamped receipt cards corroborating the same.

Applicants respectfully request that the Examiner acknowledge receipt of these latter Information Disclosure Statements and sign off on the appropriate PTO forms to indicate consideration and entry of the relevant references cited thereon into the file of the above-identified application.

Rejections of Record

Claims 1-263 are pending in this application. All of these claims have been rejected under 35 U.S.C. § 112, first and second paragraphs, for the reasons noted in paragraphs 3 and 4 (sub-paragraphs a)-aj)) at pages 2-10 of the Office Action. As noted herein, a number of the pending claims have been amended. No new matter has been introduced by the claim amendments.

Claims 1-263 have been rejected as indicated. These rejections are addressed below paragraph-by-paragraph in the order presented in the Office Action.

Paragraph 3

Claims 1-263 are rejected under 35 U.S.C. § 112, first paragraph, for use of the term “prodrug.” In response, Applicants have deleted the term “prodrug” from each of the rejected

claims. In view of the foregoing removal of the term “prodrug” from claims 1, 29, 75, 121, 141, 163, 184, 205, 226, 237, 251-256, and 258, Applicants respectfully request reconsideration and withdrawal of this rejection of claims 1-263 under 35 U.S.C. § 112, first paragraph.

Paragraph 4(a)

Claims 1-225, 251-254 and 257-261 have been rejected under 35 U.S.C. § 112, second paragraph, for reciting the term “oxo.” In response, where appropriate, Applicants have deleted the term “oxo” from the relevant rejected claims. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(b)

Claims 1-225, 251-254 and 257-261 have been rejected under 35 U.S.C. § 112, second paragraph, for reciting the term “polyalkyl.” The Office Action asserts that the term “polyalkyl” is vague and indefinite. However, Applicants respectfully direct the Examiner’s attention to the specification wherein the term “polyalkyl” is clearly defined. In particular, “polyalkyl” is defined as “a branched or straight hydrocarbon chain having a molecular weight up to 20,000, more preferably up to about 10,000 and most preferably up to about 5,000.” See page 155, paragraph no. 563 of the specification. In view of the foregoing, Applicants respectfully submit that the term “polyalkyl” is not vague and indefinite. Given the foregoing definition found at paragraph no. 563 of page 155 of the specification, one of ordinary skill in the art would readily understand what is meant by the term “polyalkyl.” As such, Applicants respectfully request that the subject rejection under 35 U.S.C. § 112, second paragraph, for reciting “polyalkyl” be reconsidered and withdrawn.

Paragraph 4(c)

Claims 1-225, 251-254 and 257-261 are rejected under 35 U.S.C. § 112, second paragraph, for reciting the term “polyether,” which the Office Action asserts renders these claims vague and indefinite. In response, Applicants respectfully direct the Examiner’s attention to the specification. Therein, “polyether” is defined as “a polyalkyl wherein one or more carbon atoms are replaced by oxygen, wherein the polyether has a molecular weight up to about 20,000, more preferably up to about 10,000, and most preferably up to about 5,000.” See page 155, paragraph

no. 564 of the specification. In view of the foregoing, Applicants respectfully submit that the term “polyether” is neither vague nor indefinite. As such, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(d)

Claims 1-225, 251-254 and 257-267 have been rejected under 35 U.S.C. § 112, second paragraph, as being vague and indefinite for reciting the term “alkylammoniumalkyl.” In response, Applicants respectfully submit that the term “alkyl” appearing in the term “alkylammoniumalkyl” is described in the specification as “where the term ‘alkyl’ is used, either alone or within other terms such as ‘haloalkyl,’ and ‘hydroxyalkyl’, it includes linear or branched radicals having one to about twenty carbon atoms or, preferably, one to about twelve carbon atoms.” See page 151, paragraph no. 546 of the specification. In view of the foregoing, Applicants respectfully submit that the term “alkylammoniumalkyl” is readily understood by one of ordinary skill to mean an alkyl group bridged via an ammonium group to another alkyl group having from 1 to 20 or preferably 1 to 12 linear or branched carbon atoms. In view of the foregoing, Applicants respectfully request that this rejection be reconsidered and withdrawn.

Paragraph 4(e)

Claims 1-225, 251-254 and 257-261 have been rejected under 35 U.S.C. § 112, second paragraph, for being vague and indefinite for reciting the term “sulfo.” In response, where appropriate, Applicants have deleted the term “sulfo” from these claims. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(f)

Claims 1-225, 251-254 and 257-261 have been rejected under 35 U.S.C. § 112, second paragraph, for being vague and indefinite for reciting the term “-P⁹R¹⁰.” Applicants have amended the term “-P⁹R¹⁰” to “-PR⁹R¹⁰” in the claims to correct the subject typographical error. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(g)

Claims 1, 8-9, 36-37, 82-83, 163, 184 and 205 and claims dependent thereon are rejected

as being vague and indefinite for reciting that A is a “cation” when, in fact, it has a negative charge. In response, Applicants have corrected the subject typographical error. Applicants have amended the rejected claims to recite that A is an “anion” instead of a “cation.” In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(h)

In view of the typographical error correction noted in paragraph 4(g) above, the rejection of the instant sub-paragraph 4(h) for the lack of antecedent basis for “anion” is now moot. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection of claims 2-3, 10-11, 29-31, 38-39, 75-77, 84-85 and claims dependent thereon.

Paragraph 4(i)

Claims 10-11, 38-38, 84-85, 125, 145 and claims dependent thereon are rejected under 35 U.S.C. § 112, second paragraph, for reciting “ $-SR^9$, $-S(O)_3R^9$ and $-N^+R^9R^{11}R^{12}A^-$ ” in the definition of R^{13} , R^{14} and R^{15} . In response, with respect to $-SR^9$ and $-S(O)_3R^9$, it is noted that in claim 1 and in claim 3, each claim recites that R^{13} , R^{14} and R^{15} may be substituted with $-SR^{16}$, and SO_3R^{16} . In addition, claims 1 and 3 recite that R^{16} may be R^9 . As such, there is proper antecedent basis in the base claims for $-SR^9$ and $-SO_3R^9$. However, with respect to $-N^+R^9R^{11}R^{12}A^-$, Applicants have amended the relevant base claims to recite that substituent. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(j)

Claims 10-11, 38-39, 84-85, 125 and 145 and their dependents are rejected for indicating that in the definition of R^9 and R^{10} is included the moiety “carboxyalkylheterocycle” which is considered to be “a class of compounds” and “not a substituent.” Accordingly, Applicants have amended the term “carboxyalkylheterocycle” to “carboxyalkylheterocyclyl” in the rejected claims. (Emphasis added.) In view of the foregoing amendment, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(k)

A similar rejection (as made in sub-paragraph 4(j)) is made in this sub-paragraph with

respect to the term “heterocycle.” Accordingly, Applicants have amended the term “heterocycle” to “heterocyclyl” in the rejected claims. (Emphasis added.) Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(l)

In response to the rejection of claims 14, 42, 88, 128, 148 and claims dependent thereon with regard to “insufficient antecedent basis” for the “phenylene” in the moiety in line 1 of page 412, line 4 on page 438, line 7 on page 467, etc., Applicants have amended claim 14 to depend from claim 3 and amended claim 3 to recite each of the formulas found in claim 14. Applicants have made the above-noted claim amendments to further prosecution. However, for example, the “phenylene” structure recited at line 1 of page 412 of claim 14 is fully supported by base claim 3 prior to the above-noted amendment of claim 3. In particular, claim 3 recites (1) that in “formula II” R^{19} may be OR^{13} , (2) that R^{13} may be “alkylarylalkyl” optionally substituted with “- NR^9R^{10} ” and (3) that R^9 and R^{10} may be “carboxyalkyl.” See claim 3. As such, the subject “phenylene” moiety has proper antecedent basis in base claim 3. Comments along these lines apply equally to claims 42, 88, 128, and 148.

Similar amendments have been made with respect to claims 42, 88, 128 and 148. In particular, claim 42 is amended to depend from claim 31 and the relevant formulas introduced into claim 31. As amended, claim 88 now depends from claim 77 and the relevant formulas recited in claim 88 are now added into claim 77. Also, claim 128 now depends from claim 122 and claim 122 recites the formulas found in claim 128. Finally, claim 148 is amended to depend from claim 142 and the formulas found in claim 148 are introduced to claim 142. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(m)

Applicants above-noted claim amendments discussed in sub-paragraph 4(l) apply equally to the lack of antecedent basis rejection of claims 14, 42, 88, 128, and 148 and claims dependent thereon with respect to “2-6-pyridinene.” Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(n)

Claim 14 is rejected for reciting "R = 1,000 MW PEG." Applicants' foregoing claim amendments noted in sub-paragraphs 4(l) and 4(m) are equally applicable to this rejection. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(o)

Claims 14, 42, 88, 128, 148 and its dependents are rejected as being vague and indefinite because the moiety in line 4 on page 413, in line 5 on page 439, and line 2 on page 469, etc., show a nitrogen atom missing a third bond. However, Applicants respectfully note that one of ordinary skill in the art would understand that the subject nitrogen atom has 3 bonds and that the third bond is to a hydrogen atom which is implied even though not drawn according to art accepted chemical structural drawing conventions. However, should the Examiner insist that the hydrogen atom be drawn, Applicants will be more than happy to amend the structure to show the implied -NH bond to a hydrogen atom as the third bond. Please let us know if that is necessary. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(p)

In view of the claim amendments noted under sub-paragraphs 4(l) and 4(m), Applicants respectfully note that this rejection for reciting "N(CH₂COOH)₂" is now moot. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(q)

Claims 14, 42, 88, 128 and 148 are rejected for not ending with a period. Accordingly, Applicants have amended these claims to recite a period at the end. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(r)

Claims 29 and 75 are rejected for reciting "-O(CH₂)₁₋₄NR'R'" wherein it is asserted that a nitrogen atom is shown with 4 bonds. Applicants respectfully submit that while the positive charge on the nitrogen atom is not shown, a nitrogen atom can have 4 bonds. However, should the Examiner insist that the nitrogen atom be shown with a positive charge, Applicants are willing to do so. Please let us know if that is required. In view of the foregoing, Applicants

respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(s)

Applicants have corrected the typographical error for deleting the various spaces in the last moiety on page 474. The last moiety is amended to recite “-N⁺R¹³R¹⁴R¹⁵A⁻”. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(t)

The Office Action asserts that there is no antecedent basis in claim 122 for “p” to have the value of “0.” Applicants have amended claim 122 such that “p is 1, 2, 3 or 4”. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(u)

The Office Action asserts that claim 141 is vague and indefinite under 35 U.S.C. § 112, second paragraph, for formula V showing a nitrogen atom with only 2 bonds. However, Applicants respectfully submit that there is an implied third bond to a hydrogen atom attached to the ring nitrogen as would be understood by one of ordinary skill in the art. Thus, the third bond between the nitrogen and an undrawn hydrogen atom is understood to exist by one of ordinary skill. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection. However, should the Examiner insist that formula V be amended to show the -NH bond, Applicants will be happy to do so.

Paragraph 4(v)

Claim 142 is rejected because it recites the limitation that “r” may be “0.” In response, Applicants have amended the claim to recite that “r is 1, 2, 3 or 4.” As such, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(w)

In response to the rejection of claim 169 because the formulas drawn on page 510, 511, 512, 513 and 514 all have nitrogen atoms with only 2 bonds shown, Applicants respectfully submit that there is an implied third bond to a hydrogen atom present or bonded to those nitrogen atoms wherein only 2 bonds are drawn. There is an implied -NH bond to a third atom which is a hydrogen atom. However, should the Examiner insist that the -NH bond be shown, Applicants

will be happy to do so. In view of the foregoing, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(x)

Claim 169 is rejected as vague and indefinite under 35 U.S.C. § 112, second paragraph, because the first formula on page 510 and the third formula on page 512 lack a carbonyl group. However, Applicants respectfully submit that the formulas as drawn stand for the actual structures recited in the claim. The structures stand for themselves and there is no scientific or other reason why those structures cannot be as they are drawn. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(y)

Claim 169 is again rejected for lacking a $-\text{CH}_2\text{OH}$ group. However, Applicants respectfully submit that the substituents drawn on pages 510, 511, 512, 513 and 514 are drawn as they should be and there is no scientific or other reason why a $-\text{CH}_2\text{OH}$ group must be drawn at the positions indicated by the Office Action. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(z)

Claim 190 is rejected because the structures on pages 523-527 recite nitrogen atoms with only 2 bonds. As noted in sub-paragraph 4(w), Applicants note that while only 2 bonds are drawn, one of ordinary skill would understand that a third bond to an undrawn or implied hydrogen atom exists at that nitrogen position. Accordingly, the structures are not vague and indefinite. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection. However, should the Examiner insist that the $-\text{NH}$ bond be shown, Applicants will be happy to do so.

Paragraphs 4(aa) and 4(ab)

Applicants respectfully note that claim 190 is rejected for the reasons noted in the above-referenced sub-paragraphs 4(aa) and 4(ab). Applicants further note that their prior comments with respect to claim 169 in sub-paragraphs 4(x) and 4(y) are equally applicable to sub-paragraphs 4(aa) and 4(ab), respectively. Accordingly, Applicants respectfully request

reconsideration and withdrawal of these rejections.

Paragraph 4(ac)

Applicants' prior comments in sub-paragraph 4(w) are equally applicable to the rejection of claim 211 noted in this sub-paragraph. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraphs 4(ad) and 4(ae)

Applicants respectfully submit that their prior comments of sub-paragraphs 4(x) and 4(y) are equally applicable to sub-paragraphs 4(ad) and 4(ae), respectively. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraph 4(af)

Applicants submit that their prior comments in sub-paragraph 4(w) are equally applicable to this rejection of claim 230 in this sub-paragraph 4(af). Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraphs 4(ag) and 4(ah) (first instance)

Applicants' prior comments in sub-paragraphs 4(x) and 4(y) are equally applicable to this rejection of sub-paragraphs 4(ag) and 4(ah) (first instance), respectively. Accordingly, Applicants respectfully request reconsideration and withdrawal of this rejection.

Paragraphs 4(ag) and 4(ah) (second instance)

Applicants respectfully submit that their prior comments in sub-paragraph 4(w) are equally applicable to the rejection of claim 237 under sub-paragraph 4(ag) (second instance) and to the rejection of claim 241 under sub-paragraph 4(ah) (second instance). Accordingly, Applicants respectfully request reconsideration and withdrawal of these rejections.

Paragraphs 4(ai) and 4(aj)

Applicants respectfully submit that their prior comments in sub-paragraphs 4(x) and 4(y) are equally applicable to the rejections of claim 241 under sub-paragraphs 4(ai) and 4(aj), respectively. Accordingly, Applicants respectfully request reconsideration and withdrawal of these rejections.

Conclusion

Serial No. 09/912,233

Atty. Dkt. No. 061765.00195
(3356/01/US)

In view of the foregoing, Applicants respectfully submit that amended claims 1-263 are now in condition for allowance and respectfully request a written indication of the same.

Respectfully submitted,

Date: April 2, 2003



Ajay Pathak
Registration No. 38, 266

Attachments:

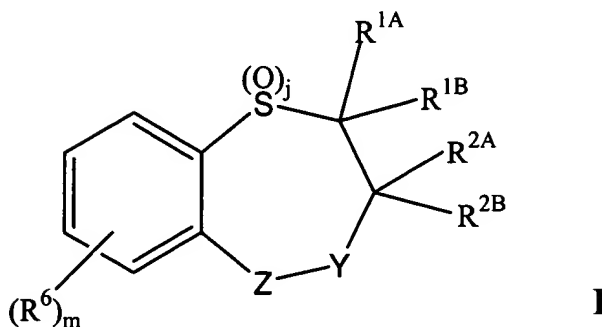
- Marked-up claim set
- IDS Filed October 25, 2001 together w/USPTO Form 1449 and date stamped receipt card
- Supplemental IDS filed June 25, 2002 together w/ Form PTO/SB/08A and date stamped receipt card

BANNER & WITCOFF, LTD.
1001 G Street, N.W.
11th Floor
Washington, D.C. 2001

(202) 824-3000 (general office line)
(202) 824-3187 (direct line for Mr. Pathak)
(202) 824-3001 (office fax line)

MARKED UP CLAIM SET

1. (Amended) A compound of Formula I:



wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C₃₋₁₀ cycloalkyl group; and

one of Z and Y is NR³ and the other of Z and Y is CHR⁴;

wherein R³ and R⁴ are independently selected from the group consisting of hydrogen, ~~o~~~~x~~~~e~~, acyl, thioacyl, and R⁵; and

wherein R⁵ is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein the R⁵ alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; alkyl; polyalkyl;

haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

one or more R^6 radicals are independently selected from the group

consisting of R^5 , hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^6 alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; $\text{ø}\text{x}\text{ø}$; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰-PR⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^6 quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; $\text{ø}\text{x}\text{ø}$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R^6 radicals comprising carbon optionally may have one or more

carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; ~~oxo~~; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt; or solvate, ~~or prodrug~~ thereof; provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge;

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt;

(c) the R⁵ moiety comprises a phosphonic acid group or at least two carboxyl groups; or

(d) the R⁵ moiety comprises a polyethylene glycol group having a molecular weight of at least 1000.

2. (Amended) A compound of Claim 1 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ aryl optionally may have one or more carbons replaced by -O-; -NR⁷; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are

attached form a cyclic ring; and

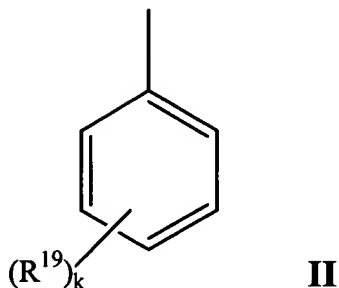
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

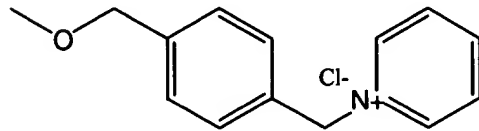
3. (Amended) A compound of claim 2 wherein R⁵ is:

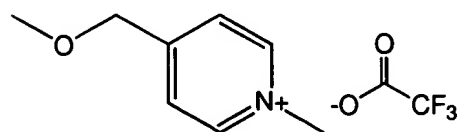
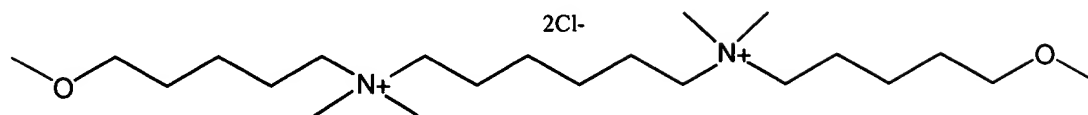
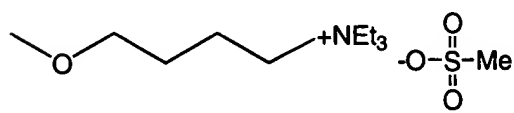
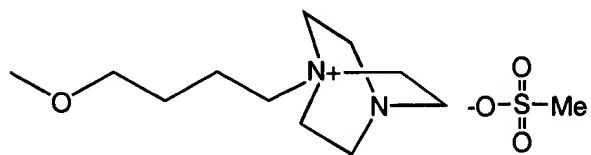
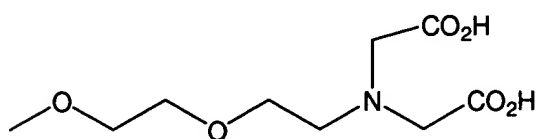
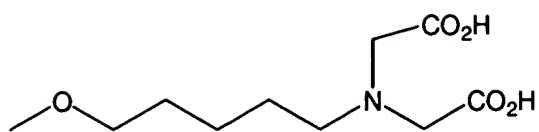


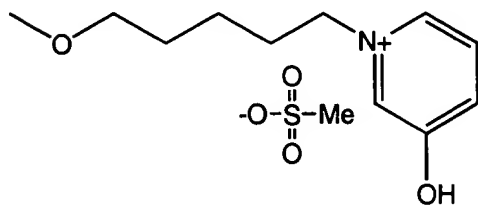
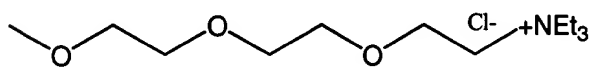
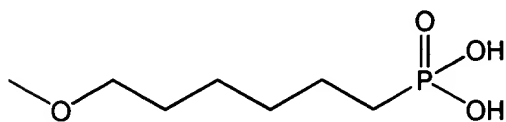
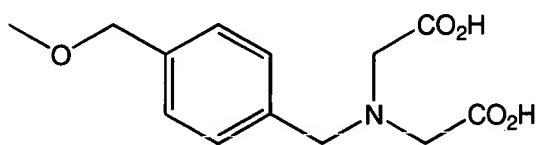
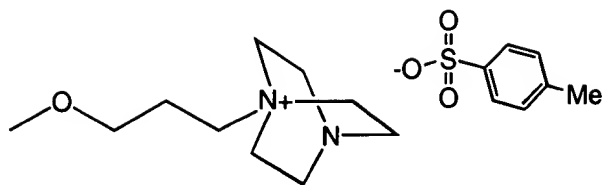
wherein

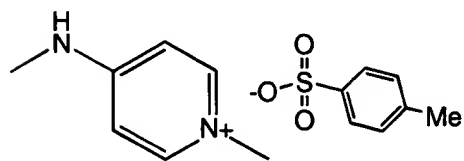
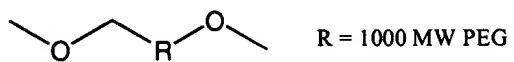
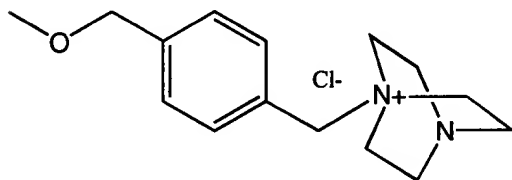
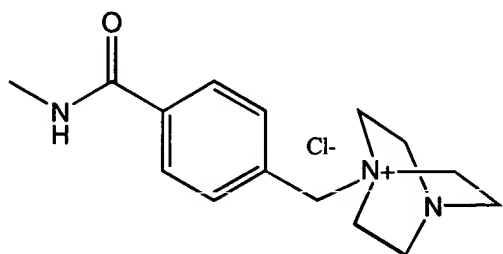
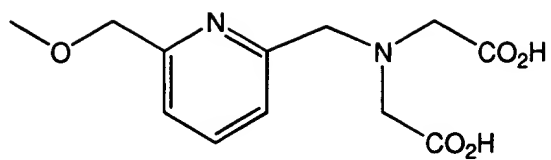
k is 0, 1, 2, 3 or 4; and

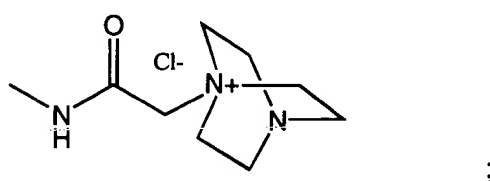
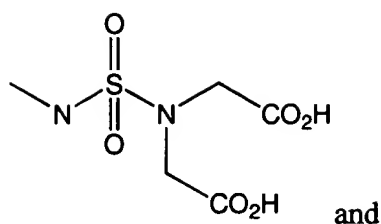
one or more R¹⁹ are independently selected from the group consisting of
 halogen; -CN; -NO₂; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl;
 alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;
 heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³;
¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -
 C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -
 NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -
 NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -
 P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and











wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; OxO ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;

alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

8. (Amended) A compound of claim 3 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; ~~oxo~~; alkyl; cycloalkyl;

aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^4 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; \emptyset ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; \emptyset ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; oxo ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are

attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation.

9. (Amended) A compound of claim 3 wherein:

R^3 is selected from the group consisting of hydrogen; \emptyset ; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^3 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; \emptyset ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; \emptyset ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons

replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one

or more radicals selected from the group consisting of O^\bullet , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; O^\bullet ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R^4 is R^5 .

10. (Amended) A compound of claim 3 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

11. (Amended) A compound of claim 3 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

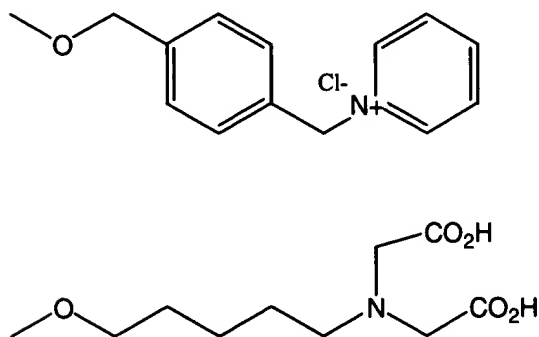
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

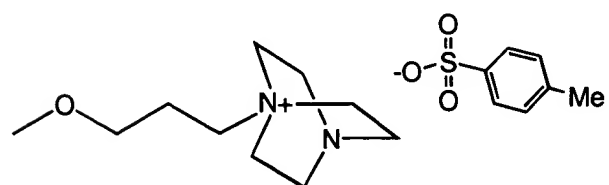
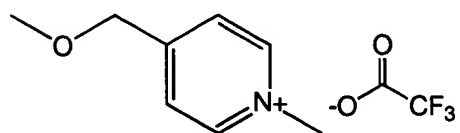
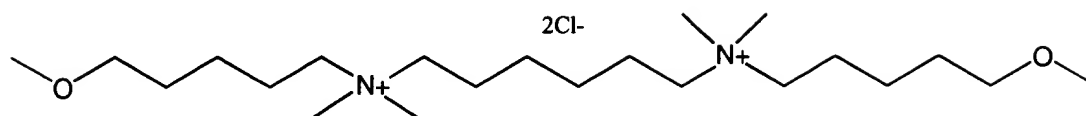
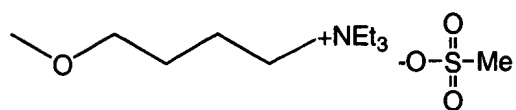
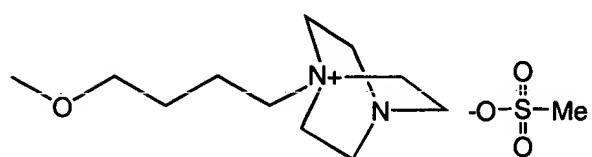
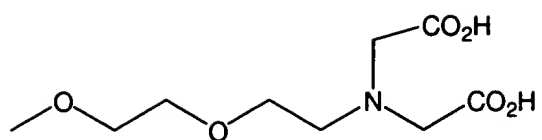
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocyclyl~~ carboxyalkylheterocyclyl; and

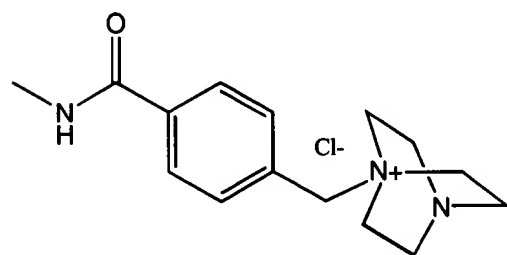
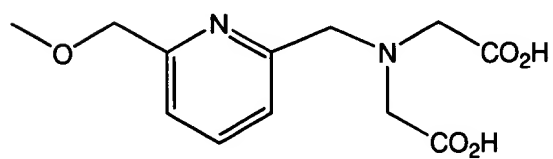
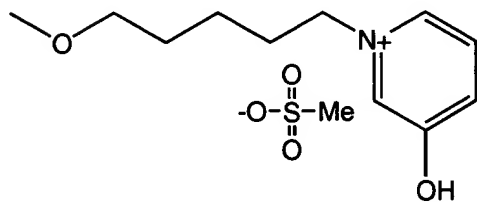
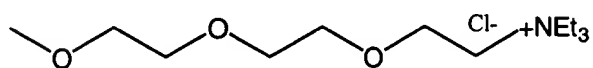
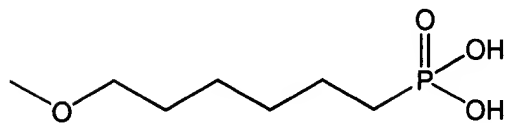
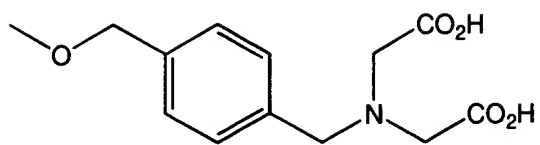
wherein R^{11} and R^{12} are independently alkyl; and

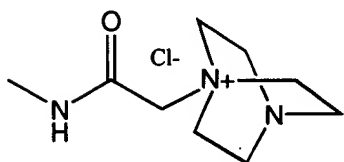
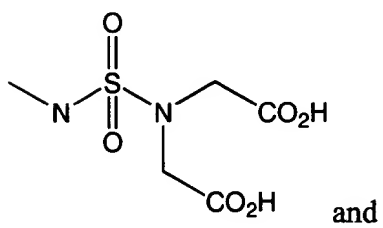
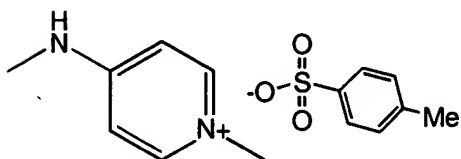
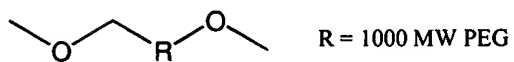
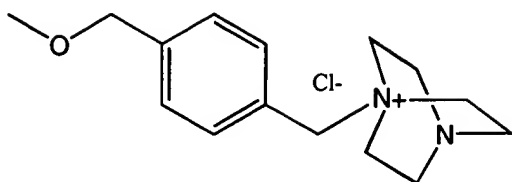
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

14. (Amended) A compound of claim 10 ~~3~~ wherein R^{19} is selected from the group consisting of:

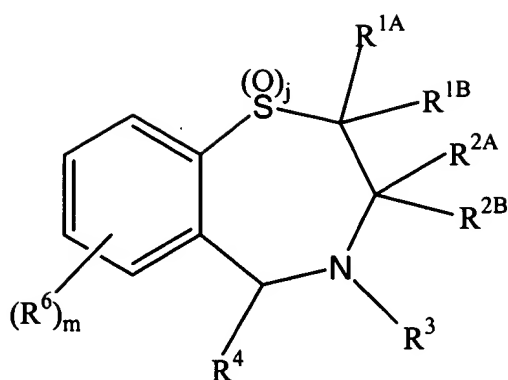








29. (Amended) A compound of claim 1 corresponding to Formula IA:



IA

wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^3 and R^4 are independently selected from the group consisting of hydrogen, oxo , acyl, thioacyl, and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-\text{OR}^9$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; and $-\text{SO}_3\text{R}^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; oxo ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; -

S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino;

carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~;

alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidinyll; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³

R^{14} ; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^6 alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $\text{ø}\text{ø}$; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$; $-P^+R^9R^{11}R^{12}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^6 quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $-NO_2$; $\text{ø}\text{ø}$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; OM ; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-P(O)R^{13}R^{14}$; $-P^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

wherein the R^6 radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; or $-P(O)R^9-$; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO_2 ; OxO ; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt; or solvate, ~~or prodrug~~ thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that the R⁵ alkyl, cycloalkyl, aryl, heterocyclyl, and -OR⁹ radicals are not substituted with -O(CH₂)₁₋₄NR'R''R''' wherein R', R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge; and/or

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt; and/or

(c) the R⁵ moiety comprises at least two carboxy groups.

30. (Amended) A compound of Claim 29 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; OxO ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR

14 ; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 aryl optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; ox ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 aryl optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^7-$; $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^7\text{A}^-$; $-\text{PR}^7-$; $-\text{P}(\text{O})\text{R}^7-$; $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group

consisting of hydrogen; -CN; halogen; OxO ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; OxO ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl;

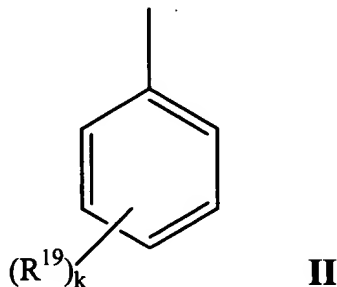
guanidinyll; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

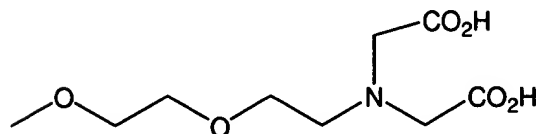
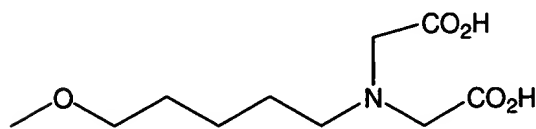
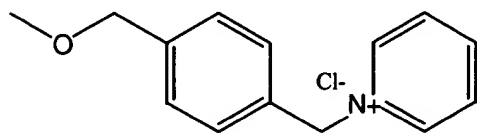
31. (Amended) A compound of claim 30 wherein R⁵ is:

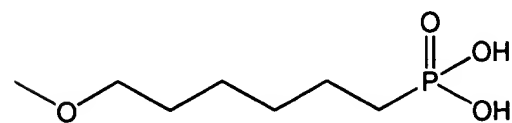
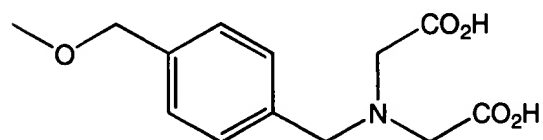
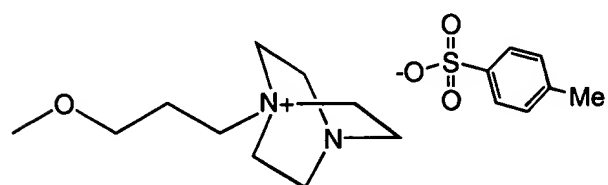
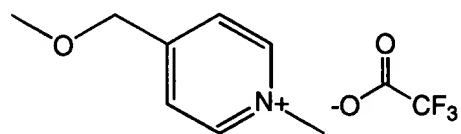
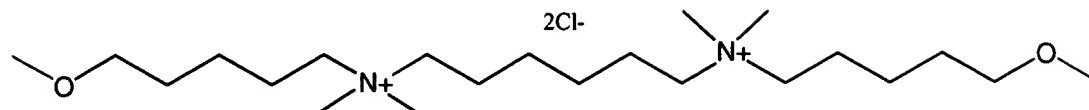
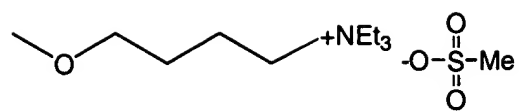


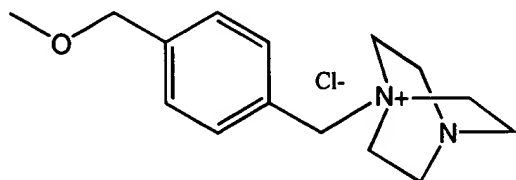
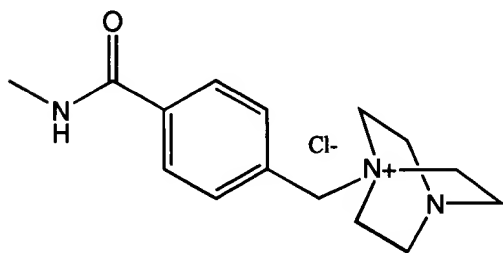
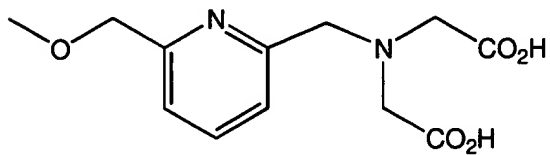
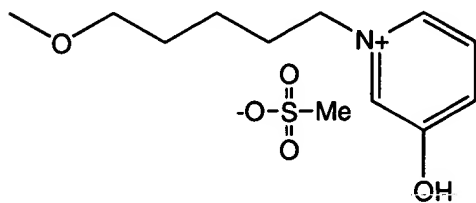
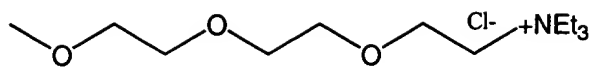
wherein

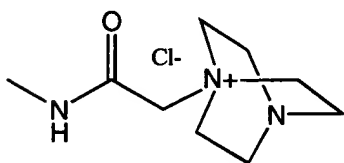
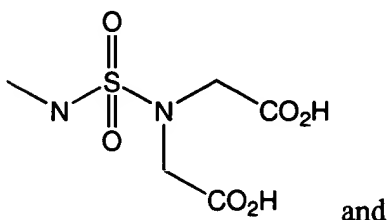
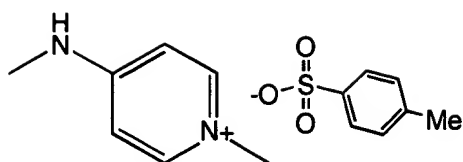
k is 0, 1, 2, 3 or 4; and

one or more R^{19} are independently selected from the group consisting of halogen; -CN; -NO₂; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and









wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; -N

$^+R^7R^8A^-$; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary

salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

36. (Amended) A compound of claim 31 wherein:

R^3 is R^5 ; and

R^4 is selected from the group consisting of hydrogen; \emptyset ; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^4 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; \emptyset ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^4 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; \emptyset ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxe~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; ~~sulfo~~; oxo ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^{\text{W}}\text{A}^-$; $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; $-\text{SR}^{16}$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; ~~$-\text{P}^9\text{R}^{10}$~~ ; $-\text{PR}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^9-$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^9\text{A}^-$; $-\text{PR}^9-$; $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$; $-\text{P}(\text{O})\text{R}^9$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation.

37. (Amended) A compound of claim 31 wherein:

R^3 is selected from the group consisting of hydrogen; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^3 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; ~~o~~~~x~~~~e~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; -

CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary

heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -

PR^9 -, $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ -, $-\text{P}(\text{O})\text{R}^9$ -, phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R^4 is R^5 .

38. (Amended) A compound of claim 31 wherein:

R^{19} is independently selected from the group consisting of $-\text{OR}^{13}$, $-\text{NR}^{13}\text{R}^{14}$, $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$, $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$, and $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-\text{SR}^9$, $-\text{S}(\text{O})\text{R}^9$, $-\text{S}(\text{O})_2\text{R}^9$, $-\text{S}(\text{O})_3\text{R}^9$, $-\text{NR}^9\text{R}^{10}$, $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, $-\text{CONR}^9\text{R}^{10}$, and $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

39. (Amended) A compound of claim 31 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, and alkylheterocyclylalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

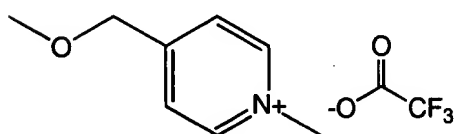
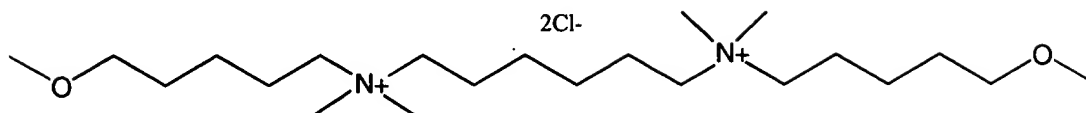
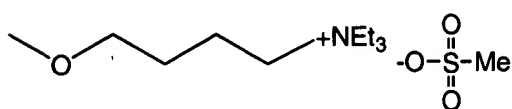
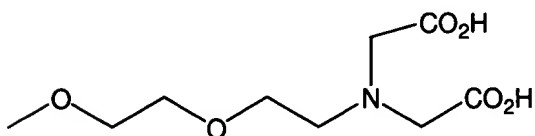
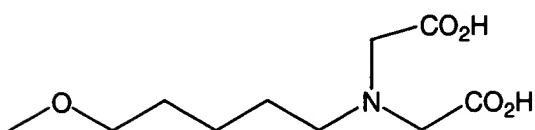
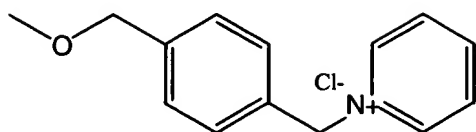
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

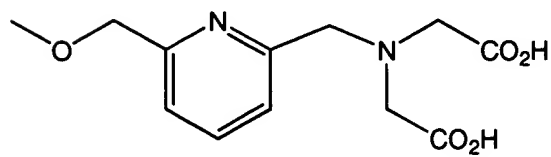
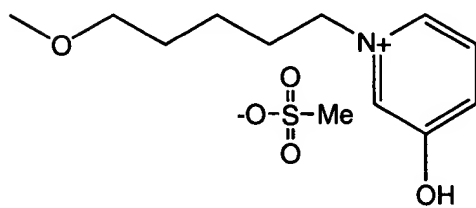
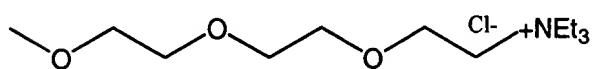
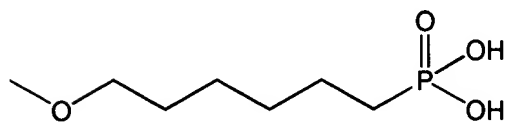
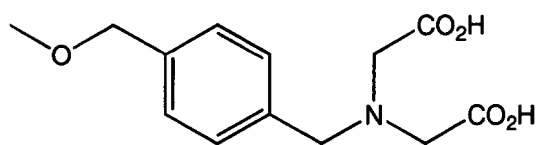
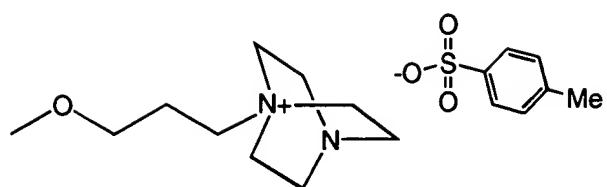
wherein R^{11} and R^{12} are independently alkyl; and

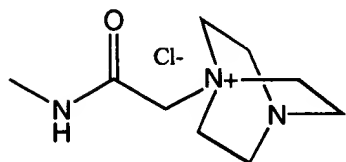
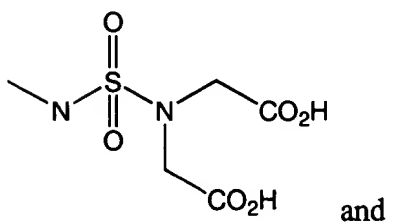
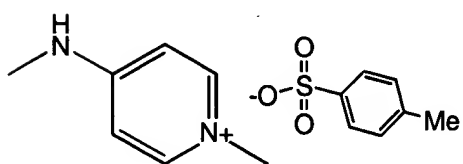
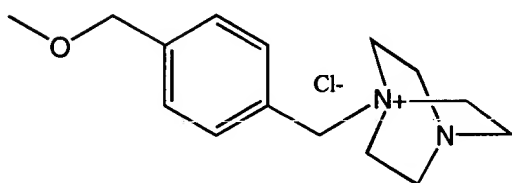
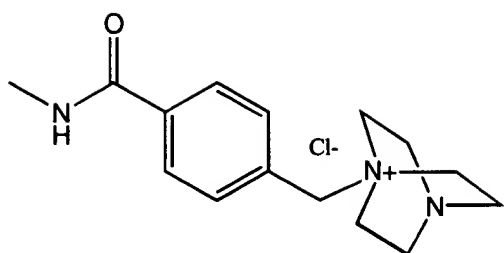
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

42. (Amended) A compound of claim ~~38~~ 31 wherein R^{19} is selected from

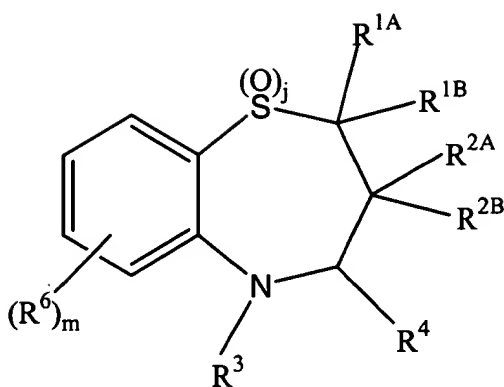
the group consisting of:







75. (Amended) A compound of claim 1 corresponding to Formula IB:



wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C₃₋₇ cycloalkyl group; and

R³ and R⁴ are independently selected from the group consisting of hydrogen, ~~oxo~~, acyl, thioacyl and R⁵; and

wherein R⁵ is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein the R⁵ alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -

$S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; \emptyset ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino;

carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~;

oxy; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰W A⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³

R^{14} ; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^6 alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-CN$; --- ; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}$ $-PR^9R^{10}$; $-P^+R^9R^{11}R^{12}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^6 quaternary heterocycl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $-NO_2$; --- ; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; OM ; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-P(O)R^{13}R^{14}$; $-P^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

wherein the R^6 radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9$

-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt; or solvate; ~~or~~ ~~prodrug~~ thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that the R⁵ alkyl, cycloalkyl, aryl, and heterocyclyl, and -OR⁹ radicals are not substituted with -O(CH₂)₁₋₄NR'R''R''' wherein R', R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge;

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt; and

(c) the R⁵ moiety comprises at least two carboxy groups.

76. (Amended) A compound of Claim 75 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;

polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 aryl optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 aryl optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene;

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl;

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxe~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxe~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxe~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl;

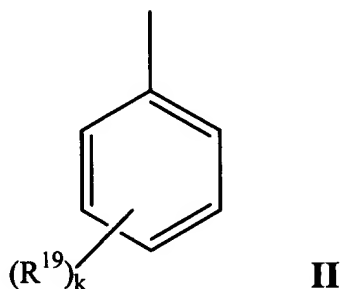
heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^WA^-$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-P^9R^{10}-PR^9R^{10}$; $-P^+R^9R^{10}R^{11}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; $-P(O)R^9-$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

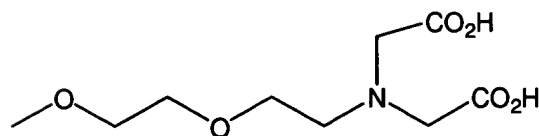
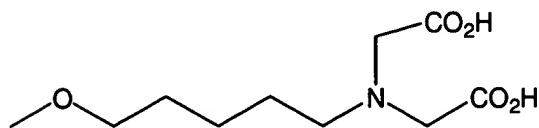
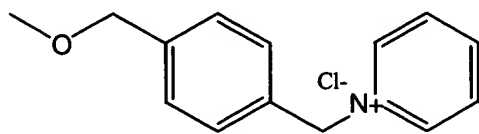
77. (Amended) A compound of claim 76 wherein R^5 is:

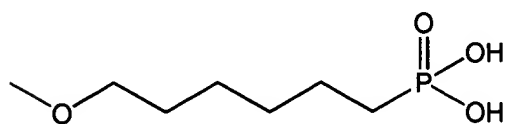
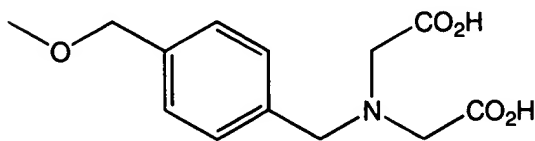
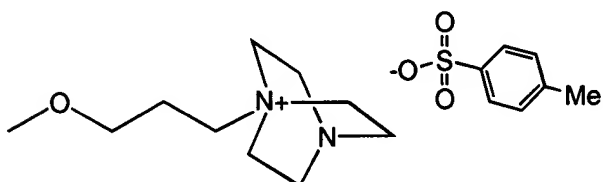
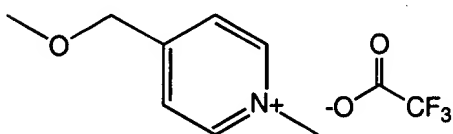
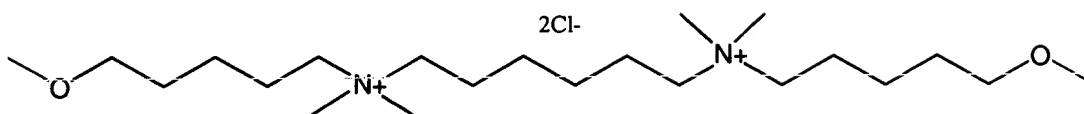
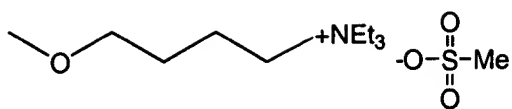


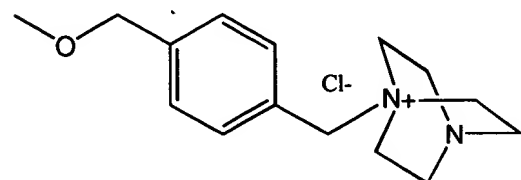
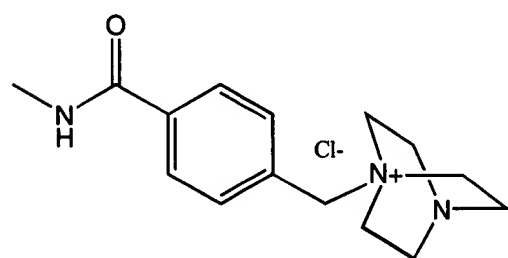
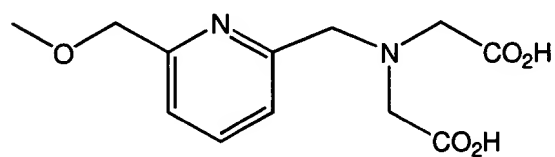
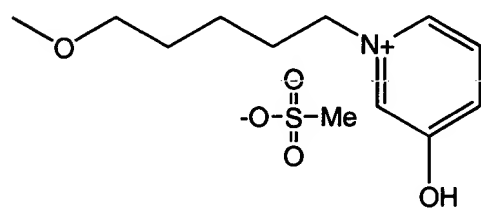
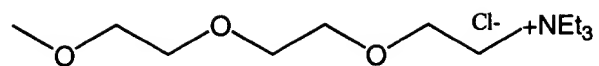
wherein

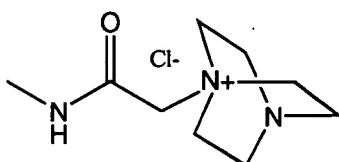
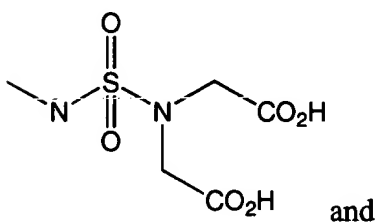
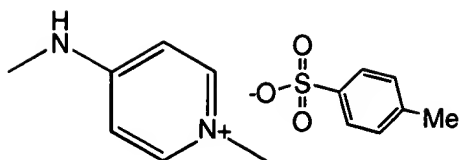
k is 0, 1, 2, 3 or 4; and

one or more R^{19} are independently selected from the group consisting of
 halogen; -CN; -NO₂; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl;
 alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;
 heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³;
¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -
 C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -
 NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -
 NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -
 P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and









wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; -

$N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; -PR⁷-; -P(O)R⁷-; $-P^+R^7R^8A^-$; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; \emptyset ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of \emptyset , carboxy, and quaternary

salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of

R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

82. (Amended) A compound of claim 77 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R⁴ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁴ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -

CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁴ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary

heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; ~~-P⁹R¹⁰~~; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -

PR^9 -; $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$; $-\text{P}(\text{O})\text{R}^9$ -; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation.

83. (Amended) A compound of claim 77 wherein:

R^3 is selected from the group consisting of hydrogen; ~~O~~ ; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-\text{OR}^9$;

wherein the R^3 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; ~~O~~ ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; ~~O~~ ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl;

quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; ~~oxe~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of H , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; ~~sulfo~~; H ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^{\text{W}}\text{A}^-$; $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; $-\text{SR}^{16}$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; $-\text{P}^9\text{R}^{10}$; $-\text{PR}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one

or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R⁴ is R⁵.

84. (Amended) A compound of claim 77 wherein:

R¹⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N⁺R⁹R¹⁰A⁻, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, -SR⁹, -S(O)R⁹, -S(O)₂R⁹, -S(O)₃R⁹, -NR⁹R¹⁰, -N⁺R⁹R¹¹R¹²A⁻, -CONR⁹R¹⁰, and -PO(OR¹⁶)OR¹⁷, and

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and

~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

85. (Amended) A compound of claim 77 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, and alkylheterocyclylalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

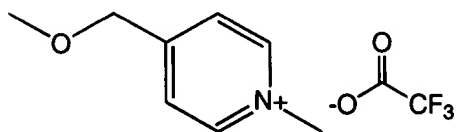
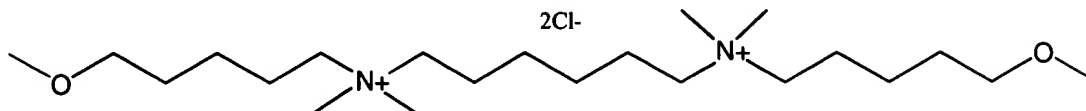
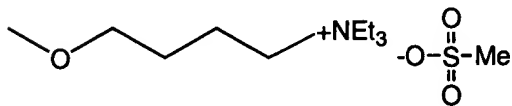
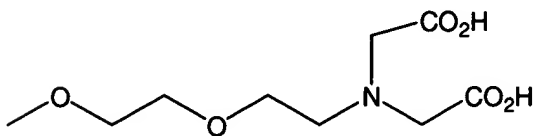
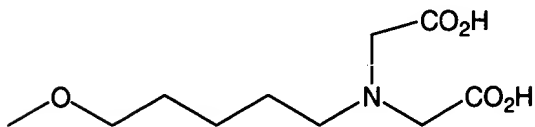
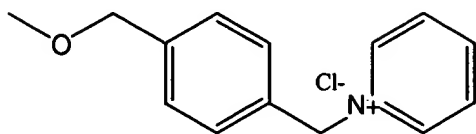
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

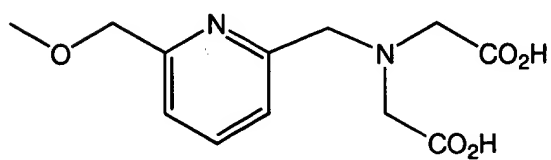
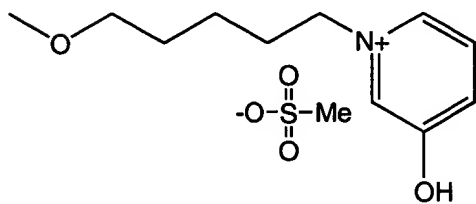
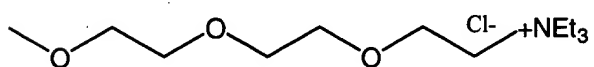
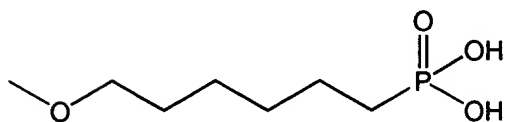
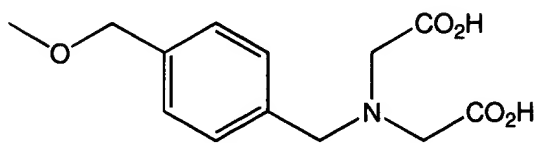
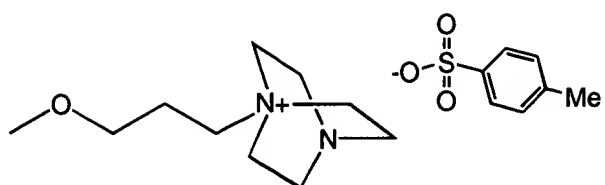
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

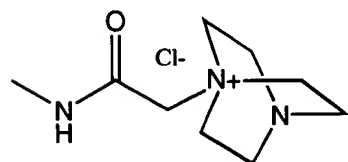
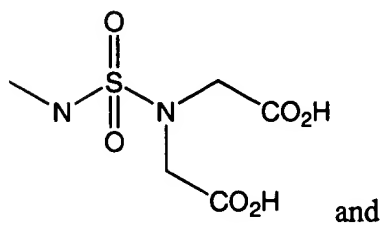
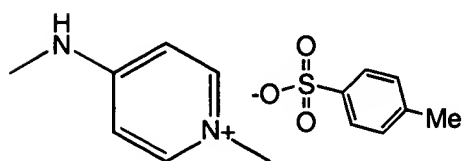
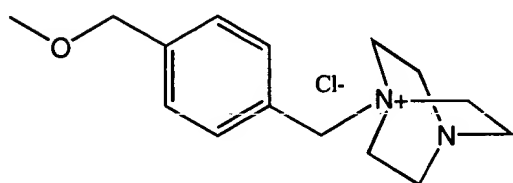
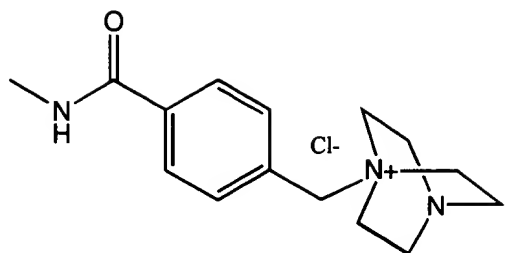
wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

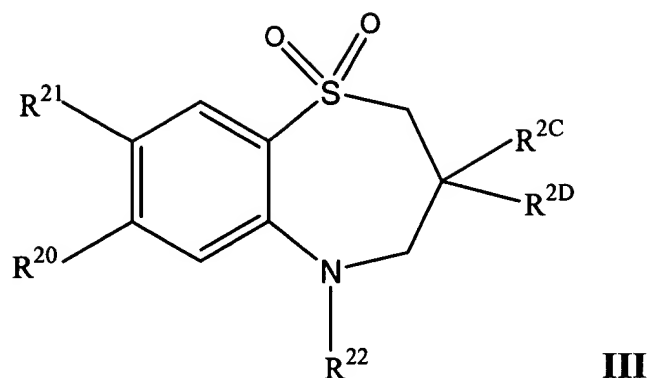
88. (Amended) A compound of claim 84 77 wherein R¹⁹ is selected from the group consisting of:







121. (Amended) A compound of Formula III:



wherein:

R^{2C} and R^{2D} are independently selected from C_{1-6} alkyl; and

R^{20} is selected from the group consisting of halogen and R^{23} ;

R^{21} is selected from the group consisting of hydroxy, alkoxy, and R^{23} ; and

wherein R^{23} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ϕ ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and ~~$-N^+R^{13}R^{14}R^{15}A^-$~~ $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{23} aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ϕ ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -

CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R²³ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl;

alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; OxO ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹

-; $-P^+R^9R^{10}A^-$; $-P(O)R^9$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

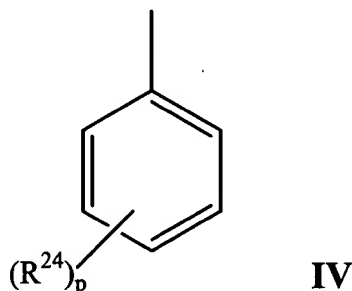
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^{22} is unsubstituted phenyl or R^{23} ; or

a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof;

provided that at least one of R^{20} , R^{21} and R^{22} is R^{23} .

122. (Amended) A compound of Claim 121 wherein R^{23} is:



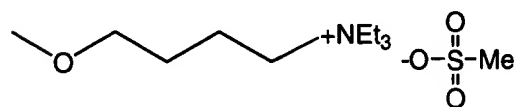
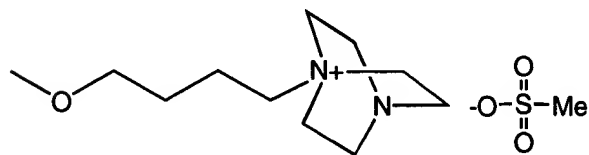
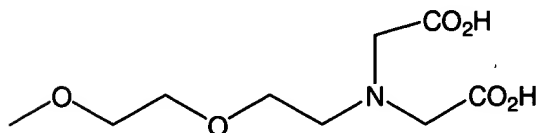
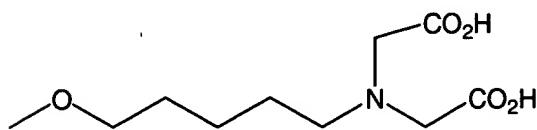
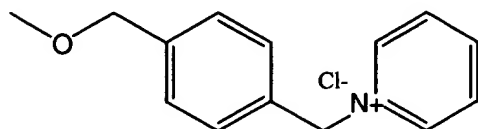
wherein

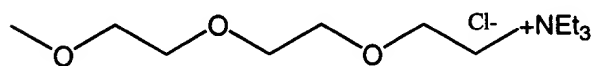
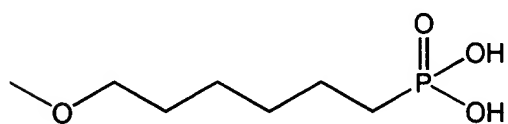
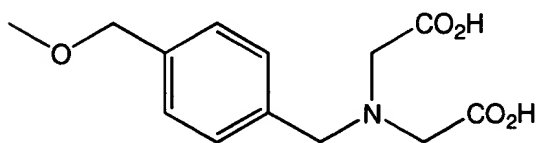
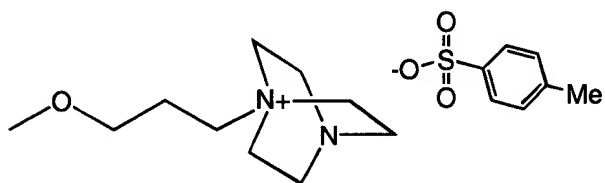
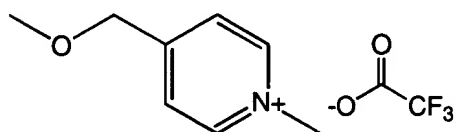
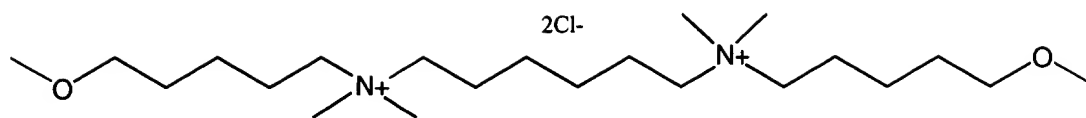
p is 0, 1, 2, 3 or 4; and

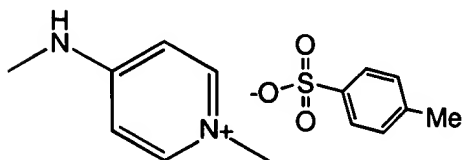
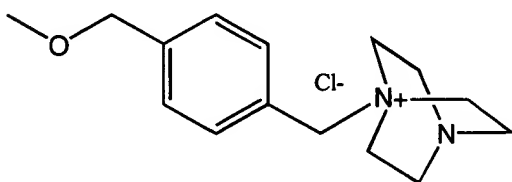
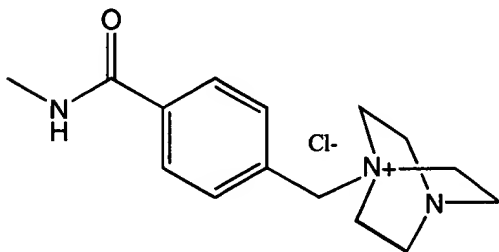
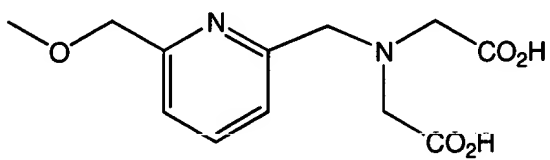
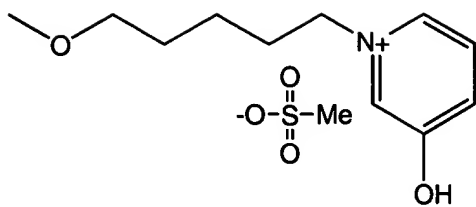
one or more R^{24} are independently selected from the group consisting of halogen; $-CN$; $-NO_2$; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;

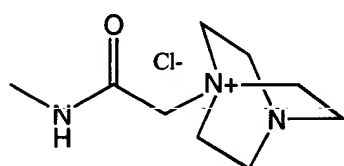
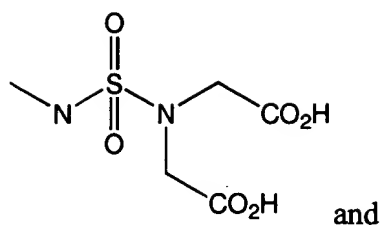
heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; -

$\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; ~~and~~ $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and









wherein the R^{24} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{24} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; OxO ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;

alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰ -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

125. (Amended) A compound of claim 122 wherein:

R²⁴ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴,

$-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$, $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$, and $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, and

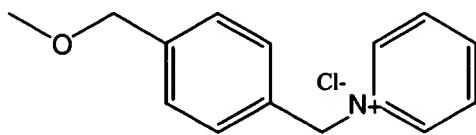
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-\text{SR}^9$, $-\text{S}(\text{O})\text{R}^9$, $-\text{S}(\text{O})_2\text{R}^9$, $-\text{S}(\text{O})_3\text{R}^9$, $-\text{NR}^9\text{R}^{10}$, $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, $-\text{CONR}^9\text{R}^{10}$, and $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$, and

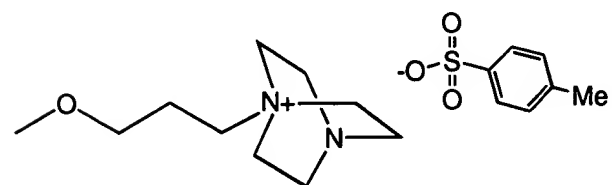
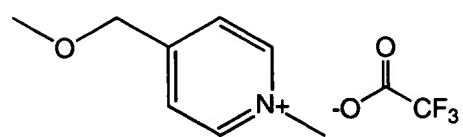
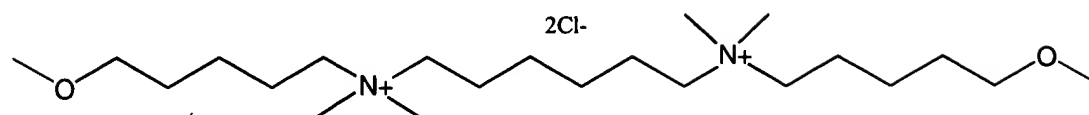
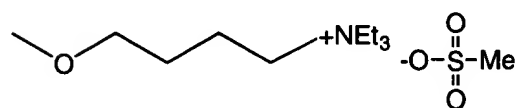
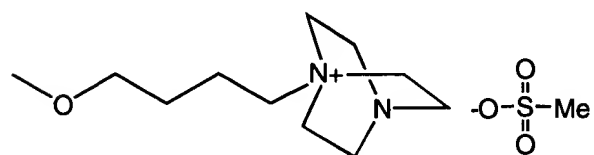
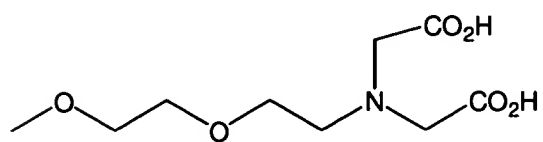
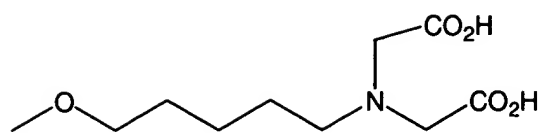
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocyclyl; and

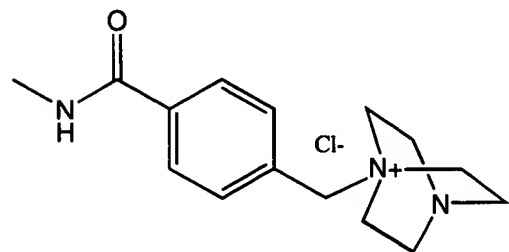
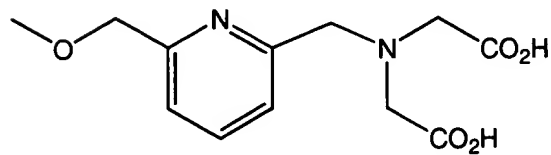
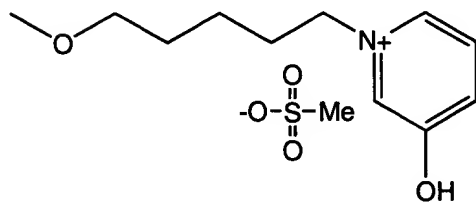
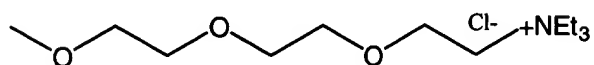
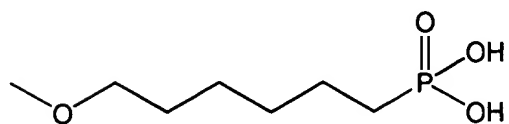
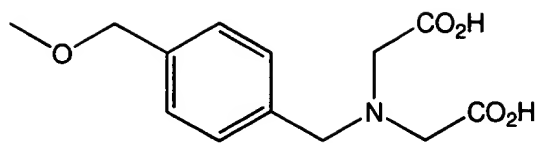
wherein R^{11} and R^{12} are independently alkyl; and

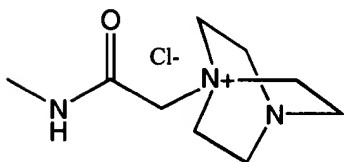
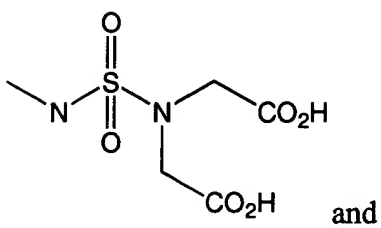
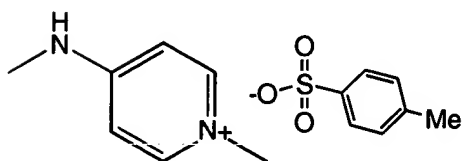
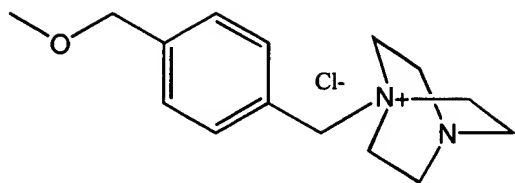
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

128. (Amended) A compound of claim ~~125~~ 122 wherein R^{24} is selected from the group consisting of:

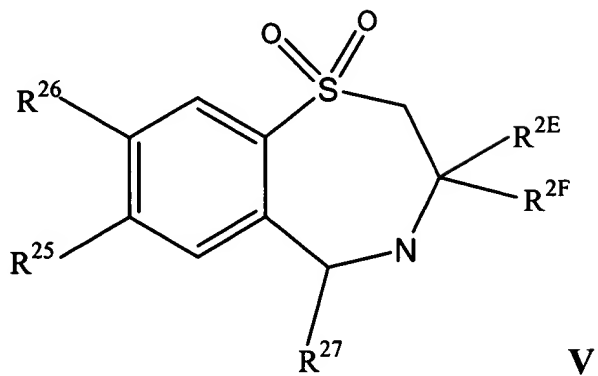








141. (Amended) A compound of Formula V:



wherein:

R^{2E} and R^{2F} are independently selected from C_{1-6} alkyl; and

R^{25} and R^{26} are independently selected from the group consisting of hydrogen, alkoxy, and R^{28} ;

wherein R^{28} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; \emptyset ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{28} aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; \emptyset ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{28} aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; OxO ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are

attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

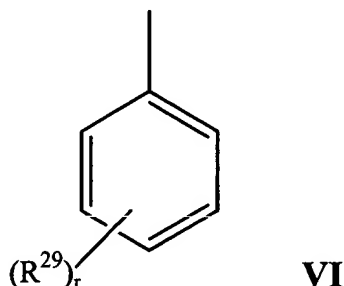
wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^{27} is unsubstituted phenyl or R^{28} ; or

a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof;
provided that at least one of R^{25} , R^{26} and R^{27} is R^{28} .

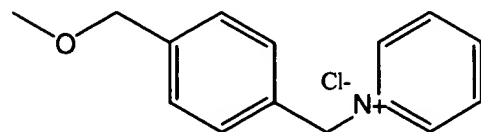
142. (Amended) A compound of Claim 141 wherein R^{28} is:

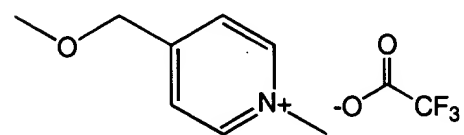
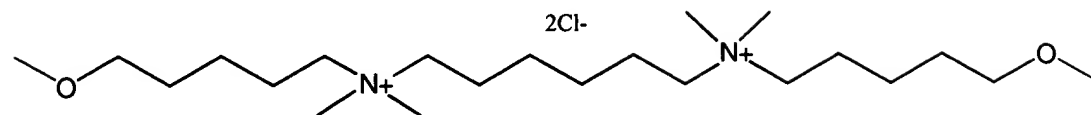
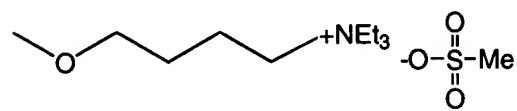
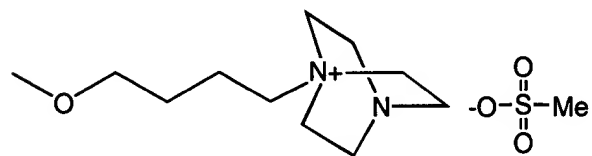
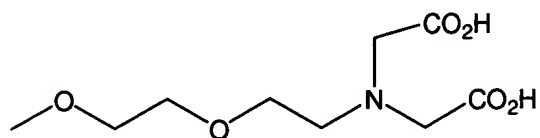
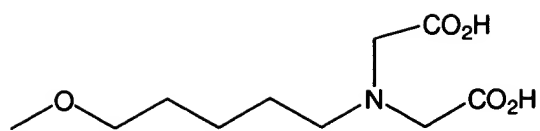


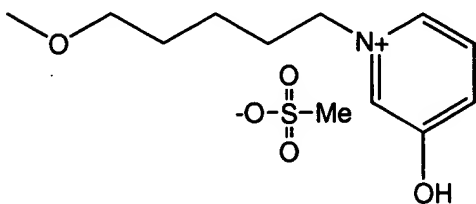
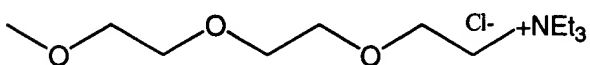
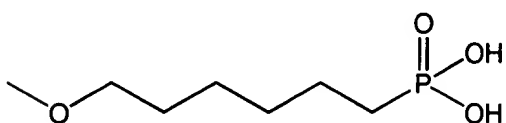
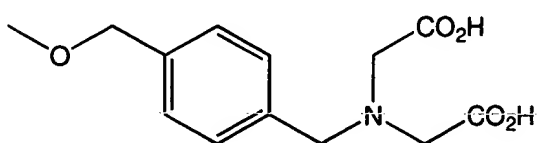
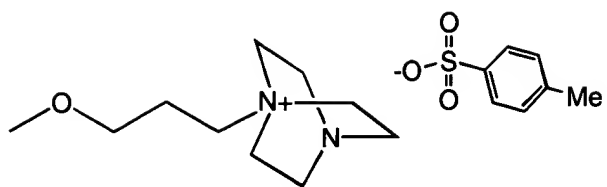
wherein

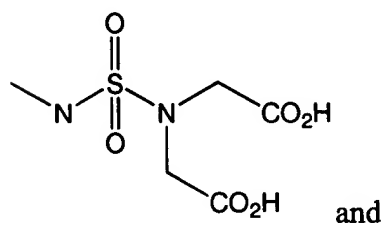
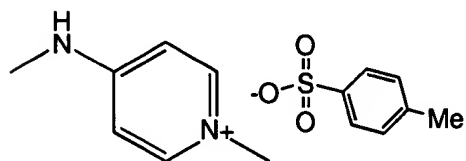
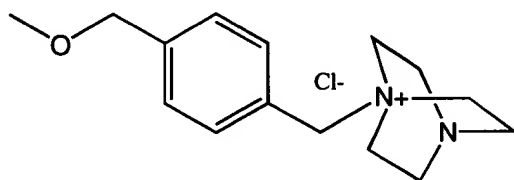
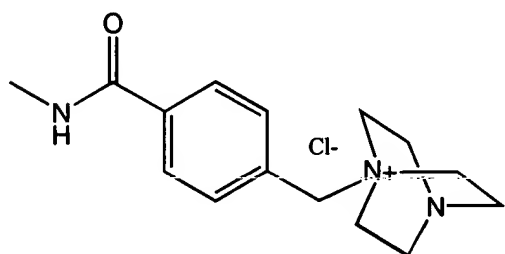
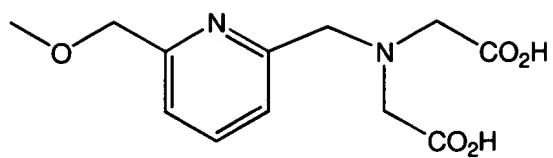
r is 0, 1, 2, 3 or 4; and

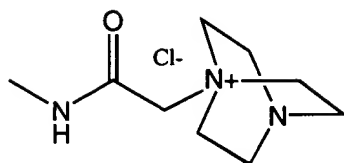
one or more R^{29} are independently selected from the group consisting of
halogen; -CN; -NO₂; ~~oxo~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl;
alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;
heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³;
-NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -
C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -
NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -
NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -
P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; ~~and~~ -N⁺R¹³R¹⁴R¹⁵A⁻; and











;

wherein the R^{29} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; ~~oxo~~; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{29} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; ~~oxo~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of ~~oxo~~, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl;

heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰-PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻-; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻-; -PR⁹-; -P⁺R⁹R¹⁰A⁻-; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

145. (Amended) A compound of claim 142 wherein:

R²⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary ~~heterocycle~~ heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

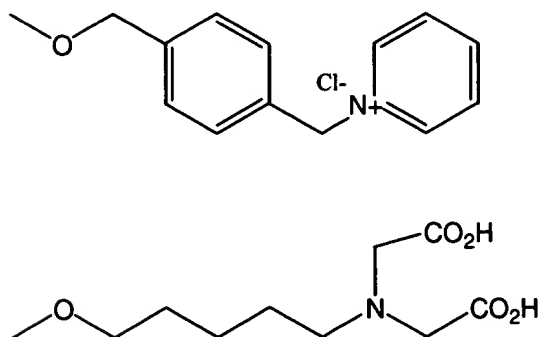
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

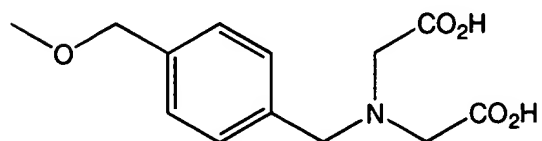
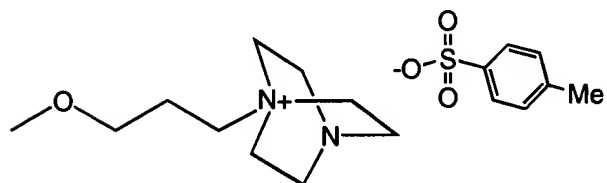
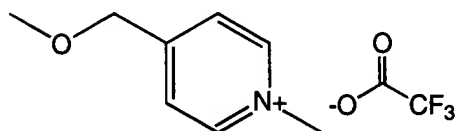
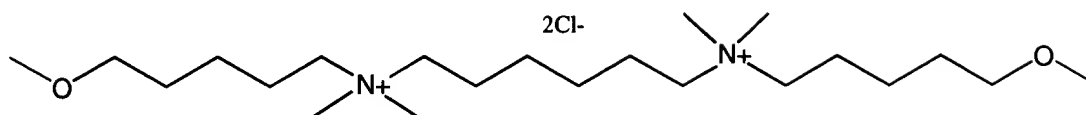
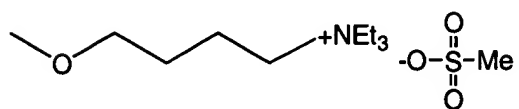
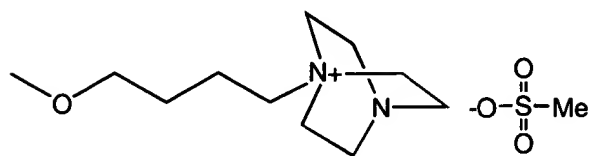
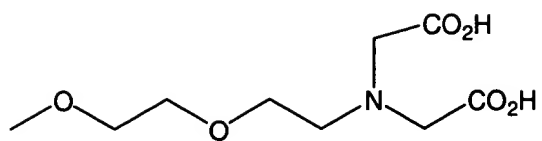
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and ~~carboxyalkylheterocycle~~ carboxyalkylheterocycl; and

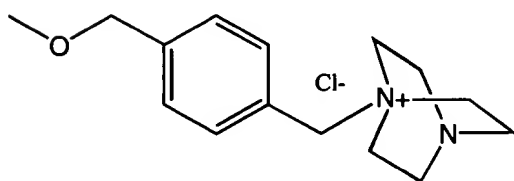
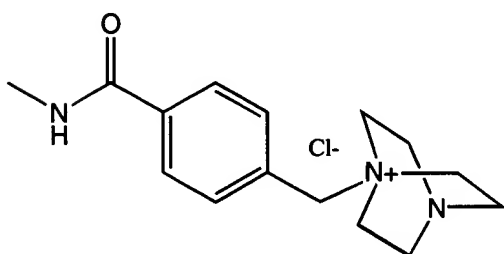
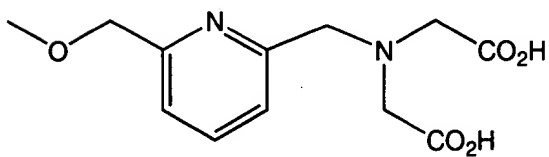
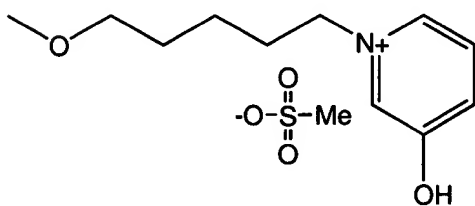
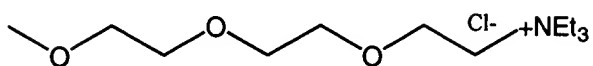
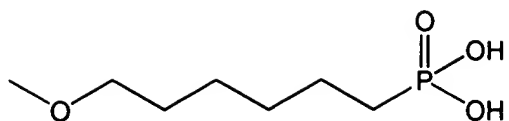
wherein R^{11} and R^{12} are independently alkyl; and

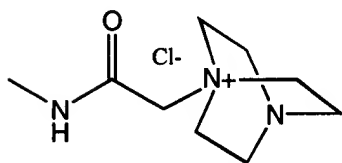
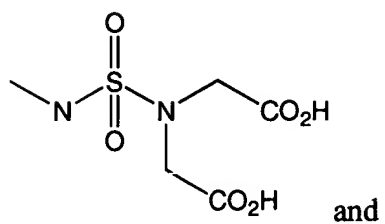
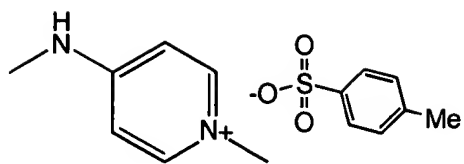
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

148. (Amended) A compound of claim ~~145~~ 142 wherein R^{29} is selected from the group consisting of:

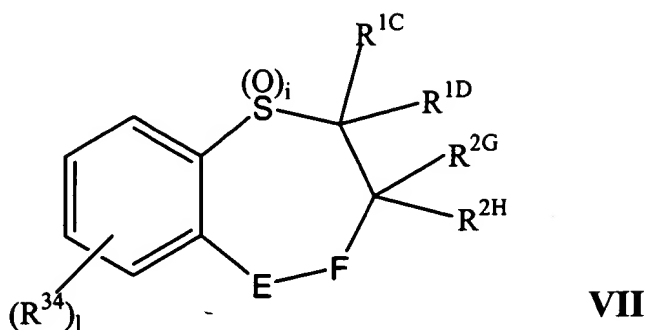








163. (Amended) A compound of Formula VII:



wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C₃₋₁₀ cycloalkyl group; and

one of E and F is NR³⁰ and the other of E and F is CHR³¹;

wherein R³⁰ and R³¹ are independently selected from the group consisting of hydrogen; ~~o~~; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, -OR⁹, and R³²;

wherein the R³⁰ and R³¹ alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; O^\bullet ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by -O-; $-\text{NR}^7$ -; $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$; -S-; -SO-; $-\text{SO}_2$ -; $-\text{S}^+\text{R}^7\text{A}^-$; $-\text{PR}^7$ -; $-\text{P}(\text{O})\text{R}^7$ -; $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; O^\bullet ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of $\text{O}^\oplus\text{O}^\ominus$, carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; $\text{O}^\oplus\text{O}^\ominus$; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidinyl; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^w\text{A}^-$; $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; SR^{16} ; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; $-\text{P}^9\text{R}^{10}$; $-\text{PR}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; $-\text{NR}^9$ -; $-\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ -; -S-; -SO-; -SO₂-; $-\text{S}^+\text{R}^9\text{A}^-$ -; -PR

R^9 -; $-P^+R^9R^{10}A^-$; $-P(O)R^9$ -; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R^{32} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{33}$ or $-O-X-R^{33}$ and wherein:

X is selected from the group consisting of:

$-(C=O)_s$ -alkyl-;
 $-(C=O)_s$ -alkyl-NH-;
 $-(C=O)_s$ -alkyl-O-;
 $-(C=O)_s$ -alkyl- $(C=O)_t$; and
a covalent bond;

R^{33} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups;

s and t are independently 0 or 1; and

one or more R^{34} radicals are independently selected from the group consisting of R^{32} , hydrogen; halogen; $-CN$; $-NO_2$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-S(O)_2R^{13}$; $-SO_3R^{13}$; $-S^+R^{13}R^{14}A^-$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-NR^{14}C(O)R^{13}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-OR^{18}$; $-S(O)_nNR^{13}R^{14}$; $-NR^{13}R^{18}$; $-NR^{18}OR^{14}$; $-N^+R^{13}R^{14}R^{15}A^-$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; OxO ; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; OxO ; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹; and

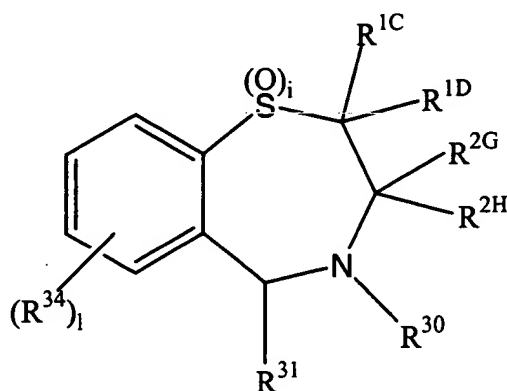
wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or

more radicals selected from the group consisting of halogen; -CN; NO₂; ~~o~~~~x~~~~e~~; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt, or solvate, ~~or~~ ~~prodrug~~ thereof;
provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

184. (Amended) A compound of claim 163 corresponding to Formula VIIA:



VIIA

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C₃₋₇ cycloalkyl group; and

R³⁰ and R³¹ are independently selected from the group consisting of hydrogen; ~~o~~~~x~~~~e~~; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, -OR⁹, and R³²;

wherein the R³⁰ and R³¹ alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; ~~o~~~~x~~~~e~~; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl;

arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocycl, quaternary heterocycl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; oxo ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocycl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocycl, quaternary heterocycl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocycl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocycl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; OxO ; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-\text{OR}^9$; $-\text{NR}^9\text{R}^{10}$; $-\text{SR}^9$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^9$; $-\text{CO}_2\text{R}^9$; and $-\text{CONR}^9\text{R}^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of OxO , carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; OxO ; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^w\text{A}^-$; $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; $-\text{SR}^{16}$; $-\text{S(O)}\text{R}^9$; -

SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰
-PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

-(C=O)_s-alkyl-;
 -(C=O)_s-alkyl-NH-;
 -(C=O)_s-alkyl-O-;
 -(C=O)_s-alkyl-(C=O)_t; and
 a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R³⁴ radicals are independently selected from the group consisting of R³², hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl;

hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{S}(\text{O})_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{OR}^{18}$; $-\text{S}(\text{O})_n\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{R}^{18}$; $-\text{NR}^{18}\text{OR}^{14}$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; $-\text{OR}^{16}$; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^w\text{A}^-$; $-\text{SR}^{16}$; $-\text{S}(\text{O})\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; $-\text{P}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R^{34} quaternary heterocycl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^{13}-$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^{13}\text{A}^-$; $-\text{PR}^{13}-$; $-\text{P}(\text{O})\text{R}^{13}-$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate

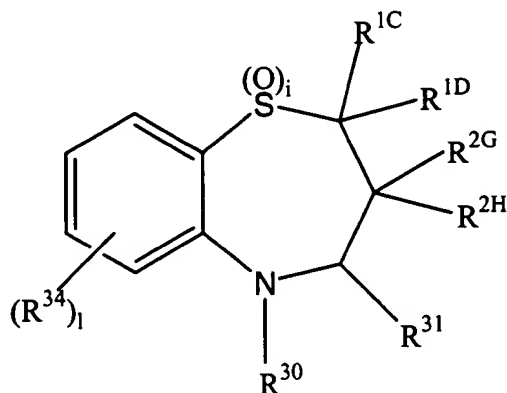
residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻-; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻-; -PR⁹-; -P⁺R⁹R¹⁰A⁻-; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt; or solvate; ~~or~~ prodrug thereof; provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

205. (Amended) A compound of claim 163 corresponding to Formula VIIB:



VIIB

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^{30} and R^{31} are independently selected from the group consisting of hydrogen; OxO ; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, $-\text{OR}^9$, and R^{32} ;

wherein the R^{30} and R^{31} alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; OxO ; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S(O)}\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C(O)}\text{NR}^{13}\text{R}^{14}$; $-\text{C(O)}\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C(O)}\text{R}^{14}$; $-\text{NR}^{13}\text{C(O)}\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC(O)}\text{R}^{13}$; $-\text{OC(O)}\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P(O)}\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P(OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; OxO ; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S(O)}\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P(O)}\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P(O)}(\text{OR}^7)\text{OR}^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more

carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; $\text{--}\text{O--}$; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of $\text{--}\text{O--}$, carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable ~~cation~~ anion and M is a pharmaceutically acceptable cation; and

R^{32} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;

$-(C=O)_s$ -alkyl-O-;
 $-(C=O)_s$ -alkyl- $-(C=O)_t$; and
 a covalent bond; and

R_{33} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R^{34} radicals are independently selected from the group consisting of R^{32} , hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)_nNR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; $\text{O}\times\text{O}$; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; $\text{O}\times\text{O}$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -

$P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

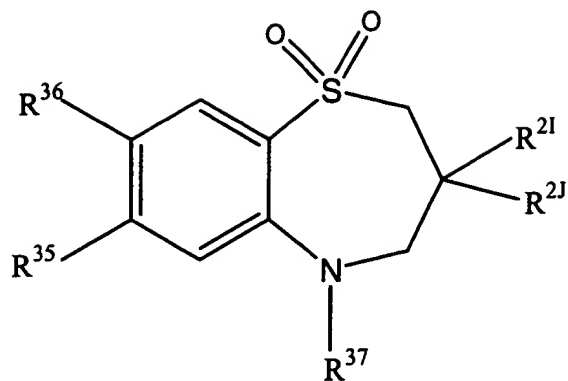
wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; or $-P(O)R^9-$; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl; and

wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; NO_2 ; ~~oxo~~ ; $-OR^9$; $-NR^9R^{10}$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; $-CONR^9R^{10}$; $-SO_2OM$; $-SO_2NR^9R^{10}$; $-PR^9R^{10}$; $-P(OR^{13})OR^{14}$; $-PO(OR^{16})OR^{17}$; and $-C(O)OM$; or

a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof; provided that at least one of R^{30} , R^{31} and R^{34} is R^{32} .

226. (Amended) A compound of Formula VIII:



VIII

wherein:

R^{2I} and R^{2J} are independently selected from C_{1-6} alkyl; and

R^{35} is selected from the group consisting of halogen and R^{38} ;

R^{36} is selected from the group consisting of hydroxy, alkoxy, and R^{38} ;

wherein R^{38} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{39}$ or $-O-X-R^{39}$ and wherein:

X is selected from the group consisting of:

$-(C=O)_u$ -alkyl-;

$-(C=O)_u$ -alkyl-NH-;

$-(C=O)_u$ -alkyl-O-;

$-(C=O)_u$ -alkyl- $-(C=O)_v$; and

a covalent bond; and

R^{39} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

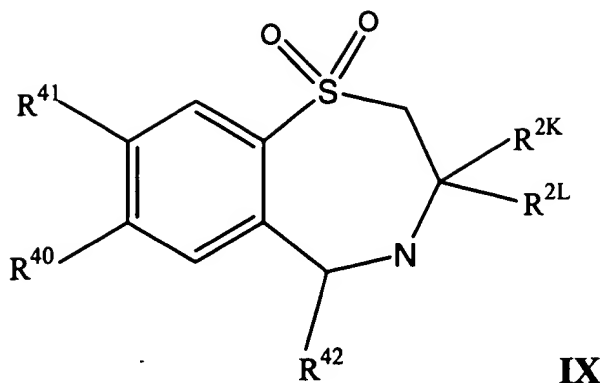
u and v are independently 0 or 1; and

R^{37} is unsubstituted phenyl or R^{38} ; or

a pharmaceutically acceptable salt, or solvate, or ~~prodrug~~ thereof;

provided that at least one of R^{35} , R^{36} and R^{37} is R^{38} .

237. (Amended) A compound of Formula IX:



wherein:

R^{2K} and R^{2L} are independently selected from C_{1-6} alkyl; and

R^{40} and R^{41} are independently selected from the group consisting of hydrogen, alkoxy, and R^{43} ;

wherein R^{43} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ and wherein:

X is selected from the group consisting of:

- $-(C=O)_a$ -alkyl-;
- $-(C=O)_a$ -alkyl-NH-;
- $-(C=O)_a$ -alkyl-O-;
- $-(C=O)_a$ -alkyl- $(C=O)_b$; and
- a covalent bond; and

R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

a and b are independently 0 or 1; and

R^{42} is unsubstituted phenyl or R^{43} ; or

a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof;

provided that at least one of R^{40} , R^{41} and R^{42} is R^{43} .

251. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a

compound of Formula I according to any one of claims 1 to 120, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

252. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula III according to any one of claims 121 to 140, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

253 (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula V according to any one of claims 141 to 162, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

254. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VII according to any one of claims 163 to 225, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

255. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VIII according to any one of claims 226 to 236, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

256. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula IX according to any one of claims 237 to 250, or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof.

258. (Amended) A pharmaceutical composition comprising a compound of Formula I according to any one of claims 1 to 120 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.

259. (Amended) A pharmaceutical composition comprising a compound of Formula III according to any one of claims 121 to 140 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.

260. (Amended) A pharmaceutical composition comprising a compound of Formula V according to any one of claims 141 to 162 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.

261. (Amended) A pharmaceutical composition comprising a compound of Formula VII according to any one of claims 163 to 225 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.

262. (Amended) A pharmaceutical composition comprising a compound of Formula VIII according to any one of claims 226 to 236 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.

263. (Amended) A pharmaceutical composition comprising a compound of Formula IX according to any one of claims 237 to 250 or a pharmaceutically acceptable salt, or solvate, ~~or prodrug~~ thereof, and a pharmaceutically acceptable carrier.